Data Sheet

Product Name:	Gabapentin
Cat. No.:	CS-1545
CAS No.:	60142-96-3
Molecular Formula:	C9H17NO2
Molecular Weight:	171.24
Target:	Calcium Channel
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Solubility:	H2O : 50 mg/mL (291.99 mM; Need ultrasonic); DMSO : 1 mg/mL (5.84 mM; Need ultrasonic)
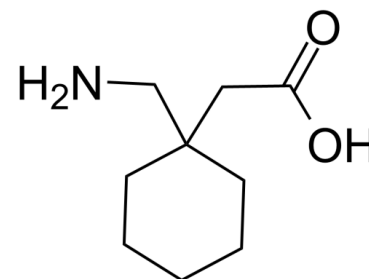
BIOLOGICAL ACTIVITY:

Gabapentin (Neurontin) is a pharmaceutical drug, specifically a GABA analog. It was originally developed to treat epilepsy, and currently is also used to relieve neuropathic pain. IC50 Value: 140 nM (α2δ subunit of calcium channel) [1] Target: Calcium Channel in vitro: Gabapentin, baclofen and CGP 44532 all reduced the electrically stimulated release of [3H]glutamic acid (IC50=20 microM, 0.8 microM and 2 microM, respectively). Gabapentin was without effect on the release of [3H]GABA, whilst baclofen (IC50=8 microM) and CGP 44532 (IC50=1 microM) inhibited [3H]GABA release [2]. A large inhibition of calcium currents by gabapentin was observed in pyramidal neocortical cells (up to 34%). Significantly, the gabapentin-mediated inhibition of calcium currents saturated at particularly low concentrations (around 10 microM), at least in neocortical neurons (IC50 about 4 microM) [3]. in vivo: Gabapentin produced an anti-allodynic effect over the 7-day period, reducing the expression of pro-inflammatory cytokines but increasing the expression of IL-10 (TNF-α, 316.0 ± 69.7 pg/mL vs 88.8 ± 24.4 pg/mL; IL-1β, 1,212.9 ± 104.5 vs 577.4 ± 97.1 pg/mL; IL-6, 254.0 ± 64.8 pg/mL vs 125.5 ± 44.1 pg/mL; IL-10, 532.1 ± 78.7 pg/mL vs 918.9 ± 63.1 pg/mL). The suppressive effect of gabapentin on pro-inflammatory cytokine expression was partially blocked by the anti-IL-10 antibody [4]. Toxicity: No new safety signals or adverse event trends relating to GEn exposure were identified [5]. Clinical trial: N/A

References:

[1]. Pan CF, et al. Inhibitory mechanisms of gabapentin, an antiseizure drug, on platelet aggregation. J Pharm Pharmacol. 2007 Sep;59(9):1255-61.

[2]. Gee NS, et al. The novel anticonvulsant drug, gabapentin (Neurontin), binds to the alpha2delta subunit of a calcium channel. J Biol Chem. 1996 Mar 8;271(10):5768-76.

[3]. Abdel-Salam OM, et al. The effect of gabapentin on oxidative stress in a model of toxic demyelination in rat brain. J Basic Clin Physiol Pharmacol. 2012;23(2):61-8.

[4]. Yang JL, et al. Gabapentin reduces CX3CL1 signaling and blocks spinal microglial activation in monoarthritic rats. Mol Brain. 2012 May 30;5:18.

[5]. Zand L, et al. Gabapentin toxicity in patients with chronic kidney disease: a preventable cause of morbidity. Am J Med. 2010 Apr;123(4):367-73.

[6]. Hung TY, et al. Gabapentin toxicity: an important cause of altered consciousness in patients with uraemia. BMJ Case Rep. 2009;2009. pii: bcr11.2008.1268.

CAIndexNames:

Cyclohexaneacetic acid, 1-(aminomethyl)-

SMILES:

O=C(O)CC1(CN)CCCCC1

Caution: Product has not been fully validated for medical applications. For research use only.